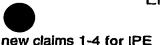
Case 70137A



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## What is claimed is:

## 1. A compound of formula I

$$R_{1} = \begin{array}{c} R_{2} \\ R_{3} \end{array} \qquad \begin{array}{c} R_{5} \\ R_{8} \end{array} \qquad \begin{array}{c} R_{8} \\ R_{8} \end{array} \qquad (1)$$

including the optical isomers thereof and mixtures of such isomers, wherein  $R_1$  is hydrogen,  $C_1$ - $C_8$ -alkyl,  $C_3$ - $C_8$ -cycloalkyl, phenyl or naphthyl; phenyl and naphthyl being optionally substituted by one to three substituents selected from the group comprising  $C_1$ - $C_8$ -alkyl,  $C_2$ - $C_8$ -alkenyl,  $C_2$ - $C_8$ -alkynyl,  $C_1$ - $C_8$ -haloalkyl,  $C_1$ - $C_8$ -alkoxy,  $C_1$ - $C_8$ -haloalkylthio,  $C_1$ - $C_8$ -haloalkylthio,  $C_1$ - $C_8$ -haloalkylthio,  $C_1$ - $C_8$ -alkylsulfonyl, halogen, cyano and nitro;  $R_2$ ,  $R_3$ ,  $R_5$ ,  $R_6$ , and  $R_7$  are each independently of each other hydrogen or  $C_1$ - $C_8$ -alkyl;  $R_4$  is  $C_1$ - $C_6$ -alkyl; or

X is O or N-R7; and

R<sub>8</sub> is a group

$$R_{19}$$
  $R_{12}$   $R_{14}$   $R_{14}$  wherein

R<sub>9</sub> is phenyl, naphthyl, 1,3-biphenyl or 1,4-biphenyl, each optionally substituted by one to three substituents selected from the group comprising C<sub>1</sub>-C<sub>8</sub>-alkyl, C<sub>2</sub>-C<sub>8</sub>-alkenyl, C<sub>2</sub>-C<sub>8</sub>-alkynyl, C<sub>1</sub>-C<sub>8</sub>-haloalkyl, C<sub>1</sub>-C<sub>8</sub>-alkoxy, C<sub>1</sub>-C<sub>8</sub>-haloalkoxy, C<sub>1</sub>-C<sub>8</sub>-alkylthio, C<sub>1</sub>-C<sub>8</sub>-alkylsulfonyl, halogen, cyano, nitro and C<sub>1</sub>-C<sub>8</sub>-alkoxycarbonyl; R<sub>10</sub> and R<sub>11</sub> are each independently hydrogen, C<sub>1</sub>-C<sub>8</sub>-alkyl, C<sub>1</sub>-C<sub>8</sub>-haloalkyl, C<sub>3</sub>-C<sub>8</sub>-alkenyl or C<sub>3</sub>-C<sub>8</sub>-alkynyl;

 $R_{12}$  is  $C_1$ - $C_8$ -alkyl,  $C_3$ - $C_8$ -cycloalkyl, phenyl or naphthyl; phenyl and naphthyl being optionally substituted by one to three substituents selected from the group comprising  $C_1$ - $C_8$ -alkyl,  $C_2$ - $C_8$ -alkenyl,  $C_2$ - $C_8$ -alkynyl,  $C_1$ - $C_8$ -haloalkyl,  $C_1$ - $C_8$ -alkoxy,  $C_1$ - $C_8$ -haloalkoxy,  $C_1$ - $C_8$ -alkylthio,  $C_1$ - $C_8$ -haloalkylthio,  $C_1$ - $C_8$ -alkylsulfonyl, aryl, halogen, cyano and nitro  $R_{13}$  is hydrogen,  $C_1$ - $C_8$ -alkyl,  $C_1$ - $C_8$ -haloalkyl,  $C_3$ - $C_8$ -alkenyl or  $C_3$ - $C_8$ -alkynyl; and  $R_{14}$  is  $C_1$ - $C_8$ -alkyl,  $C_1$ - $C_8$ -haloalkyl,  $C_1$ - $C_8$ -alkylamino or  $C_1$ - $C_8$ -dialkylamino.

2. A compound according to claim 1 wherein  $R_{10}$  is hydrogen or  $C_1$ - $C_8$ -alkyl, X is oxygen,  $R_8$  is  $-C(R_9R_{10})$ - $OR_{11}$  and  $R_{11}$  is hydrogen or  $C_3$ - $C_8$ -alkynyl.

- 3. A compound according to claim 1 wherein X is oxygen,  $R_8$  is  $-C(R_{12}R_{13})NH-SO_2-R_{14}$ , and  $R_{12}$  is  $C_1-C_8$ -alkyl or branched  $C_1-C_8$ -alkyl.
- 4. A compound of formula I according to any of claims 1 to 3, wherein  $R_1$  is hydrogen,  $C_1$ - $C_8$ -alkyl,  $C_3$ - $C_8$ -cycloalkyl, phenyl or naphthyl; phenyl and naphthyl being optionally substituted by one to three substituents selected from the group comprising  $C_1$ - $C_8$ -alkyl,  $C_2$ - $C_8$ -alkenyl,  $C_2$ - $C_8$ -alkynyl,  $C_1$ - $C_8$ -haloalkyl,  $C_1$ - $C_8$ -alkoxy,  $C_1$ - $C_8$ -haloalkylthio,  $C_1$ - $C_8$ -haloalkylthio,  $C_1$ - $C_8$ -alkylsulfonyl, halogen, cyano and nitro;  $R_4$  is  $C_1$ - $C_8$ -alkyl; or

R<sub>8</sub> is a group

 $R_9$  is phenyl, naphthyl, 1,3-biphenyl or 1,4-biphenyl, each optionally substituted by one to three substituents selected from the group comprising  $C_1$ - $C_8$ -alkyl,  $C_2$ - $C_8$ -alkenyl,  $C_2$ - $C_8$ -alkynyl,  $C_1$ - $C_8$ -haloalkyl,  $C_1$ - $C_8$ -alkoxy,  $C_1$ - $C_8$ -haloalkoxy,  $C_1$ - $C_8$ -alkylthio,  $C_1$ - $C_8$ -alkylsulfonyl, halogen, cyano, nitro and  $C_1$ - $C_8$ -alkoxycarbonyl;  $R_{11}$  is hydrogen,  $C_1$ - $C_8$ -alkyl or  $C_3$ - $C_8$ -alkynyl; and  $R_{14}$  is  $C_1$ - $C_8$ -alkyl,  $C_1$ - $C_8$ -haloalkyl,  $C_1$ - $C_8$ -alkylamino or  $C_1$ - $C_8$ -dialkylamino.

5. A compound of formula I according to any of claims 1 to 4, wherein  $R_1$  is hydrogen,  $C_1$ - $C_8$ -alkyl,  $C_3$ - $C_8$ -cycloalkyl; and  $R_2$ ,  $R_3$ ,  $R_5$  and  $R_8$  are hydrogen; and  $R_4$  is  $C_1$ - $C_6$ -alkyl; and  $R_9$  is phenyl, naphthyl, 1,3-biphenyl or 1,4-biphenyl, each optionally substituted by one to three substituents selected from the group comprising  $C_1$ - $C_8$ -alkyl,  $C_2$ - $C_8$ -alkenyl,  $C_2$ - $C_8$ -alkynyl,  $C_1$ - $C_8$ -haloalkyl,  $C_1$ - $C_8$ -alkoxy,  $C_1$ - $C_8$ -haloalkoxy,  $C_1$ - $C_8$ -alkylthio,  $C_1$ - $C_8$ -haloalkylthio,  $C_1$ - $C_8$ -alkylsulfonyl, halogen, cyano, nitro and  $C_1$ - $C_8$ -alkoxycarbonyl; and  $R_{10}$  is hydrogen or  $C_1$ - $C_4$ -alkyl; and  $R_{11}$  is hydrogen,  $C_1$ - $C_8$ -alkynyl; or  $C_2$ - $C_8$ -alkynyl; and  $R_{12}$  is  $C_1$ - $C_8$ -alkyl,  $C_3$ - $C_6$ -cycloalkyl,  $C_3$ - $C_8$ -alkenyl,  $C_3$ - $C_8$ -alkynyl; phenyl or benzyl wherein the phenyl and benzyl is optionally substituted by one to three substituents selected from the group comprising  $C_1$ - $C_8$ -alkyl,  $C_2$ - $C_8$ -alkenyl,  $C_2$ - $C_8$ -alkynyl,  $C_1$ - $C_8$ -alkoxy,  $C_1$ - $C_8$ -alkoxy,  $C_1$ - $C_8$ -haloalkyl,  $C_1$ - $C_8$ -alkoxy,  $C_1$ - $C_8$ -haloalkoxy,  $C_1$ - $C_8$ -alkylsulfonyl, halogen, cyano, nitro and  $C_1$ - $C_8$ -alkoxycarbonyl; and  $R_{13}$  is hydrogen or  $C_1$ - $C_8$ -alkyl; and  $R_{14}$  is  $C_1$ - $C_8$ -alkyl;  $C_1$ - $C_8$ -alkyl;  $C_1$ - $C_8$ -alkyl;  $C_1$ - $C_8$ -alkyl; and  $C_1$ -

6. A compound of formula I according to any of claims 1 to 5, wherein  $R_1$  is hydrogen or  $C_1$ - $C_6$ -alkyl, and  $R_2$ ,  $R_3$ ,  $R_5$  and  $R_6$  are hydrogen; and  $R_4$  is methyl or ethyl; and  $R_9$  is phenyl or naphthyl each optionally substituted by one to three substituents selected from the group comprising  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_6$ -haloalkylthio, halogen, cyano, nitro and  $C_1$ - $C_6$ -alkoxycarbonyl; and  $R_{10}$  and  $R_{13}$  are

each hydrogen; and  $R_{11}$  is hydrogen or  $C_2$ - $C_6$ -alkynyl; and  $R_{12}$  is  $C_2$ - $C_6$ -alkyl or  $C_3$ - $C_6$ -cycloalkyl; and  $R_{14}$  is  $C_1$ - $C_6$ -alkyl or  $C_1$ - $C_6$ -dialkylamino.

- 7. A compound of formula I according to claim 1 selected from the group comprising 2-hydroxy-N-(3-methoxy-4-prop-2-ynyloxy-benzyloxy)-2-phenyl-acetamide, N-(3-methoxy-4-prop-2-ynyloxy-benzyloxy)-2-phenyl-2-prop-2-ynyloxy-acetamide, 2-hydroxy-N-(3-methoxy-4-pent-2-ynyloxy-benzyloxy)-2-phenyl-acetamide, N-(3-methoxy-4-pent-2-ynyloxy-benzyloxy)-2-phenyl-2-prop-2-ynyloxy-acetamide, 2-(4-chloro-phenyl)-2-hydroxy-N-(3-methoxy-4-prop-2-ynyloxy-benzyloxy)-acetamide, 2-(4-chloro-phenyl)-N-(3-methoxy-4-prop-2-ynyloxy-benzyloxy)-2-prop-2-ynyloxy-acetamide, 2-(4-chloro-phenyl)-2-hydroxy-N-(3-methoxy-4-pent-2-ynyloxy-benzyloxy)-acetamide, 2-(4-chloro-phenyl)-N-(3-methoxy-4-pent-2-ynyloxy-benzyloxy)-2-prop-2-ynyloxy-acetamide, 2-(4-bromo-phenyl)-2-hydroxy-N-(3-methoxy-4-prop-2-ynyloxy-benzyloxy)-acetamide, 2-(4-bromo-phenyl)-N-(3-methoxy-4-prop-2-ynyloxy-benzyloxy)-2-prop-2-ynyloxy-acetamide, 2-(4-bromo-phenyl)-2-hydroxy-N-(3-methoxy-4-pent-2-ynyloxy-benzyloxy)-acetamide, 2-(4-bromo-phenyl)-N-(3-methoxy-4-pent-2-ynyloxy-benzyloxy)-2-prop-2-ynyloxy-acetamide, 2-(3,4-dichloro-phenyl)-2-hydroxy-N-(3-methoxy-4-prop-2-ynyloxy-benzyloxy)-acetamide, 2-(3,4-dichloro-phenyl)-N-(3-methoxy-4-prop-2-ynyloxy-benzyloxy)-2-prop-2-ynyloxyacetamide,
- 2-(3,4-dichloro-phenyl)-2-hydroxy-N-(3-methoxy-4-pent-2-ynyloxy-benzyloxy)-acetamide, 2-(3,4-dichloro-phenyl)-N-(3-methoxy-4-pent-2-ynyloxy-benzyloxy)-2-prop-2-ynyloxy-acetamide,
- (S)-2-methylsulfonylamino-N-(3-methoxy-4-prop-2-ynyloxy-benzyloxy)-3-methyl-butyramide, (S)-2-methylsulfonylamino-N-(3-methoxy-4-pent-2-ynyloxy-benzyloxy)-3-methyl-butyramide, (S)-N-{4-[3-(4-chloro-phenyl)-prop-2-ynyloxy]-3-methoxy-benzyloxy}-2-methylsulfonylamino-3-methyl-butyramide,
- (S)-2-ethylsulfonylamino-N-(3-methoxy-4-prop-2-ynyloxy-benzyloxy)-3-methyl-butyramide, (S)-N- $\{4-[3-(4-chloro-phenyl)-prop-2-ynyloxy]-3-methoxy-benzyloxy\}-2-N,N'-dimethylamino-sulfonylamino-3-methyl-butyramide,$
- 2-(4-ethyl-phenyl)-2-hydroxy-N-(3-methoxy-4-prop-2-ynyloxy-benzyloxy)-acetamide, 2-(4-ethyl-phenyl)-2-hydroxy-N-(3-methoxy-4-pent-2-ynyloxy-benzyloxy)-acetamide, (S)-2-ethylsulfonylamino-N-(3-methoxy-4-pent-2-ynyloxy-benzyloxy)-3-methyl-butyramide,

 $(S)-N-\{4-[3-(4-chloro-phenyl)-prop-2-ynyloxy]-3-methoxy-benzyloxy\}-2-ethanesulfonylamino-3-methyl-butyramide,$ 

hydroxy-phenyl-acetic acid N'-(3-methoxy-4-prop-2-ynyloxy-benzyl)-hydrazide, phenyl-prop-2-ynyloxy-acetic acid N'-(3-methoxy-4-prop-2-ynyloxy-benzyl)-hydrazide, hydroxy-phenyl-acetic acid N'-(3-methoxy-4-pent-2-ynyloxy-benzyl)-hydrazide, phenyl-prop-2-ynyloxy-acetic acid N'-(3-methoxy-4-pent-2-ynyloxy-benzyl)-hydrazide, (4-chloro-phenyl)-hydroxy-acetic acid N'-(3-methoxy-4-prop-2-ynyloxy-benzyl)-hydrazide, (4-chloro-phenyl)-prop-2-ynyloxy-acetic acid N'-(3-methoxy-4-prop-2-ynyloxy-benzyl)-hydrazide, hydrazide,

(4-chloro-phenyl)-hydroxy-acetic acid N'-(3-methoxy-4-pent-2-ynyloxy-benzyl)-hydrazide, (4-chloro-phenyl)-prop-2-ynyloxy-acetic acid N'-(3-methoxy-4-pent-2-ynyloxy-benzyl)-hydrazide,

(4-bromo-phenyl)-hydroxy-acetic acid N'-(3-methoxy-4-prop-2-ynyloxy-benzyl)-hydrazide, (4-bromo-phenyl)-prop-2-ynyloxy-acetic acid N'-(3-methoxy-4-prop-2-ynyloxy-benzyl)-hydrazide,

(4-bromo-phenyl)-hydroxy-acetic acid N'-(3-methoxy-4-pent-2-ynyloxy-benzyl)-hydrazide, (4-bromo-phenyl)-prop-2-ynyloxy-acetic acid N'-(3-methoxy-4-pent-2-ynyloxy-benzyl)-hydrazide,

- (3,4-dichloro-phenyl)-hydroxy-acetic acid N'-(3-methoxy-4-prop-2-ynyloxy-benzyl)-hydrazide, (3,4-dichloro-phenyl)-prop-2-ynyloxy-acetic acid N'-(3-methoxy-4-prop-2-ynyloxy-benzyl)-hydrazide,
- (3,4-dichloro-phenyl)-hydroxy-acetic acid N'-(3-methoxy-4-pent-2-ynyloxy-benzyl)-hydrazide, (3,4-dichloro-phenyl)-prop-2-ynyloxy-acetic acid N'-(3-methoxy-4-pent-2-ynyloxy-benzyl)-hydrazide,
- $N-\{(S)-1-[N'-(3-methoxy-4-prop-2-ynyloxy-benzyl)-hydrazinocarbonyl]-2-methyl-propyl-methylsulfonamide,$
- $N-\{(S)-1-[N'-(3-methoxy-4-pent-2-ynyloxy-benzyl)-hydrazinocarbonyl]-2-methyl-propyl-methylsulfonamide,$
- $N-[(S)-1-(N'-\{4-[3-(4-chloro-phenyl)-prop-2-ynyloxy]-3-methoxy-benzyl\}-hydrazinocarbonyl)-2-methyl-propyl]-methylsulfonamide,$
- $N-\{(S)-1-[N'-(3-methoxy-4-prop-2-ynyloxy-benzyl)-hydrazinocarbonyl]-2-methyl-propyl-ethylsulfonamide,\\$

 $N-\{(S)-1-[N'-(3-methoxy-4-pent-2-ynyloxy-benzyl)-hydrazinocarbonyl]-2-methyl-propyl-ethylsulfonamide, and$ 

 $N-[(S)-1-(N'-\{4-[3-(4-chloro-phenyl)-prop-2-ynyloxy]-3-methoxy-benzyl\}-hydrazinocarbonyl)-2-methyl-propyl]- ethylsulfonamide.$ 

- 8. A process for the preparation of a compound of formula I according to claim 1, which comprises
- a) reacting an acid of formula II or a carboxy-activated derivative of an acid of formula II

wherein R<sub>8</sub> is as defined for formula I with an amine of formula III

$$HO \longrightarrow \begin{array}{c} O-R_4 \\ R_5 \\ R_6 \end{array} X-NH_2 \qquad (III)$$

wherein  $R_4$ ,  $R_5$ ,  $R_6$  and X are as defined for formula I and reacting the intermediate phenol of formula IV

$$HO \xrightarrow{Q-R_4} \begin{array}{c} R_5 \\ R_6 \end{array} X - \stackrel{H}{N} \stackrel{Q}{=} R_8$$
 (IV)

wherein  $R_4$ ,  $R_5$ ,  $R_6$ ,  $R_8$  and X are as defined for formula I with a compound of formula V

$$R_{1} = \frac{R_{2}}{R_{3}} Y \tag{V}$$

wherein  $R_1$ ,  $R_2$  and  $R_3$  are as defined for formula I and wherein Y is a leaving group; or b) reacting a compound of formula VI

$$R_{1} = \begin{array}{c} R_{2} \\ R_{3} \end{array} O \xrightarrow{\begin{array}{c} O - R_{4} \\ R_{5} \end{array}} X - NH_{2}$$
 (VI)

wherein  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$  and X are as defined for formula I with an acid of formula II or a carboxy-activated derivative of an acid of formula II; or

## c) reacting a compound of formula VIII

$$\begin{array}{c} O-R_4 \\ R_5 \\ HO \end{array} \qquad \qquad (VIII)$$

wherein  $R_4$  and  $R_5$  are as defined for formula I with an acid hydrazide of formula VII

$$H_2N-N-R_8$$
 (VII)

wherein  $R_8$  is as defined for formula I, and hydrating the intermediate acylhydrazone of formula IX

$$HO \longrightarrow \begin{array}{c} P_5 \\ N-N \end{array} \longrightarrow \begin{array}{c} P_8 \\ N \end{array} \qquad (IX)$$

yielding in a compound of formula IVa, wherein  $R_4$ ,  $R_5$  and  $R_8$  are as defined for formula I; or d) reacting a phenol of formula X

$$R_{6}$$
 HO  $R_{6}$  (X)

wherein  $R_4$ ,  $R_5$  and  $R_6$  are as defined for formula I, with a compound of formula V as defined above, and transforming the intermediate alcohol of formula XI

$$R_{1} = \begin{array}{c} R_{2} \\ R_{3} \end{array} O \xrightarrow{Q-R_{4}} \begin{array}{c} R_{5} \\ R_{6} \end{array} O H \qquad (XI)$$

wherein  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$  and  $R_6$  are as defined for formula I, into a compound of formula XII,

$$R_1 = \frac{R_2}{R_3} O \xrightarrow{Q-R_4} \frac{R_5}{R_6} Y \tag{XII}$$

wherein  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$  and  $R_6$  are as defined for formula I and wherein Y is a leaving group like a halide such as a chloride or bromide or a sulfonic ester such as a tosylate, mesulate or triflate, and reacting the compound of formula XII with a compound of formula XIII

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$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

wherein  $R_{15}$  and  $R_{16}$  are hydrogen, halogen, methyl or part of an annelated benzene ring to yield an N-alkoxyimide of formula XIV

$$R_{1} = \begin{array}{c} R_{2} \\ R_{3} \end{array} O \xrightarrow{Q - R_{4}} \begin{array}{c} R_{5} \\ R_{6} \end{array} O - N \xrightarrow{Q - R_{16}} \begin{array}{c} R_{15} \\ R_{16} \end{array} (XIV)$$

wherein  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$  and  $R_6$  are as defined for formula I and  $R_{15}$  and  $R_{16}$  are as defined for formula XIII, and reacting the n-alkoxyimide of formula XIV with an amine derivative, like methylamine or butylamine or a hydrazine derivative, such as hydrazine, hydrazine hydrate or methylhydrazine to yield a compound of formula VIa

$$R_1 \xrightarrow{R_2} O \xrightarrow{Q-R_4} \xrightarrow{R_5} O-NH_2 \qquad (VIa)$$

wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are as defined for formula I.

- A composition for controlling and protecting against phytopathogenic microorganisms, comprising a compound of formula I according to claim 1 as active ingredient together with a suitable carrier.
- 10. The use of a compound of formula I according to claim 1 or a composition according to claim 9 in protecting plants against infestation by phytopathogenic microorganisms.
- 11. A method of controlling and preventing an infestation of crop plants by phytopathogenic microorganisms, which comprises the application of a compound of formula I according to claim 1 or of a composition according to claim 9 as active ingredient to the plant, to parts of plants or to the locus thereof.
- 12. A method according to claim 11, wherein the phytopathogenic microorganisms are fungal organisms.